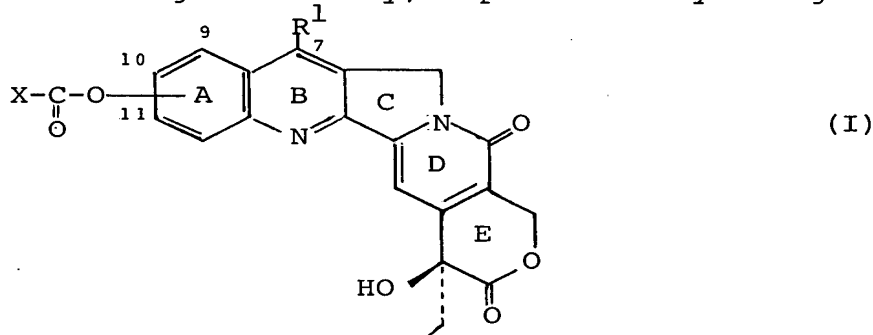


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10-9-84Title: NEW CAMPTOTHECIN DERIVATIVES AND PROCESS FOR PREPARING SAMEABSTRACT OF THE DISCLOSURE

New camptothecin derivatives possessing high anti-tumor activity with slight toxicity, represented by the general formula:



wherein  $R^1$  is a hydrogen atom, a halogen atom or an alkyl group with 1-4 carbon atoms and X is a chlorine atom or  $-NR^2R^3$  where  $R^2$  and  $R^3$  are the same or different and each represents a hydrogen atom, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both  $R^2$  and  $R^3$  are the substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with -O-, -S- and/or  $>N-R^4$  in which  $R^4$  is a hydrogen atom, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group and wherein the grouping -O-CO-X is bonded to a carbon atom located in any of the 9-, 10- and 11-positions in the ring A of camptothecin, as well as an ammonium salt or an alkali metal salt thereof. These new camptothecin derivatives are prepared by reacting a 7- $R^1$ -camptothecin derivative having a hydroxyl group in any of the 9-, 10- and 11-positions on the ring A thereof with phosgen and then reacting, if necessary, the resultant 7- $R^1$ -camptothecin

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